

(19) World Intellectual Property  
Organization  
International Bureau



(43) International Publication Date  
3 March 2005 (03.03.2005)

PCT

(10) International Publication Number  
**WO 2005/019223 A1**

(51) International Patent Classification<sup>7</sup>: **C07D 491/22**

(21) International Application Number:  
PCT/CZ2004/000050

(22) International Filing Date: 24 August 2004 (24.08.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:  
PV 2003-2305 26 August 2003 (26.08.2003) CZ

(71) Applicant (for all designated States except US): **PLIVA-LACHEMA A.S.** [CZ/CZ]; Karásek 1, 621 33 Brno (CZ).

(72) Inventor; and

(75) Inventor/Applicant (for US only): **DOBROVOLNY, Petr** [CZ/CZ]; Raceroicka 779/11, 674 01 Brno (CZ).

(74) Agent: **KUBAT, Jan**; Traplova Hakr Kubat, Law and Patent Offices, PO Box 38, Pristavni 24, 170 00 Praha 7 (CZ).

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM,

AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

**Declaration under Rule 4.17:**

— of inventorship (Rule 4.17(iv)) for US only

**Published:**

— with international search report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: METHOD OF MANUFACTURING OF 7-ETHYL-10-[4-(1-PIPERIDINO)-1-PIPERIDINO]-CARBONYLOXY-CAMPTOTHECIN

(57) Abstract: The invention relates to the method of manufacturing of 7-ethyl-10-[4-(1-piperidino)-1-piperidino]-carbonyloxy-camptothecin by condensation of 7-ethyl-10-hydroxycamptothecin with 1-chlorocarbonyl-4-piperidinopiperidine hydrochloride in a polar aprotic solvent in the presence of 4-dimethylaminopyridine.

WO 2005/019223 A1